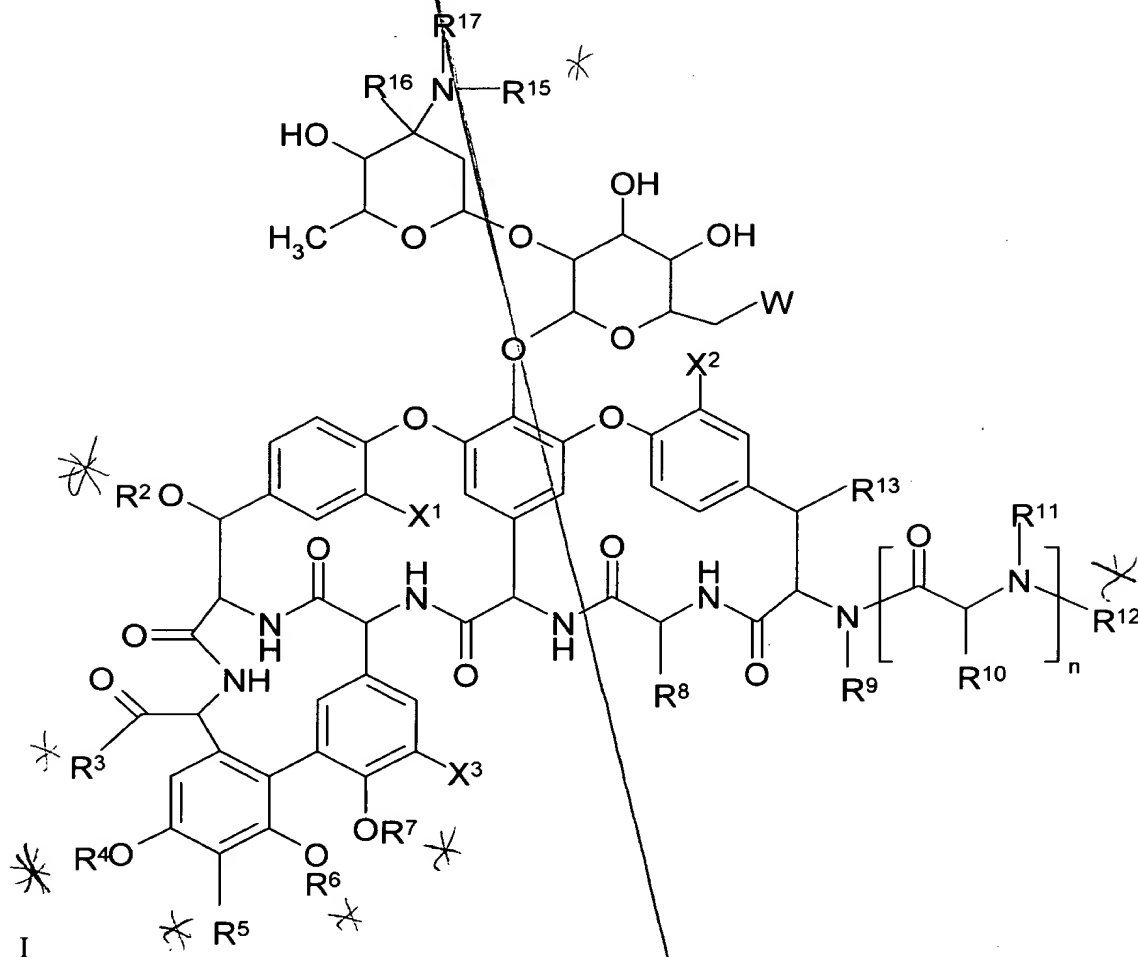


WHAT IS CLAIMED IS:

1. A compound of formula I:



wherein

25 R^2 is hydrogen or a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$;

R^3 is $-OR^c$, $-NR^cR^c$, $-O-R^a-Y-R^b-(Z)_x$, $-NR^c-R^a-Y-R^b-(Z)_x$, $-NR^cR^c$, or $-O-R^c$;

R⁴ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, -R^a-Y-R^b-(Z)_x, -C(O)R^d and a saccharide group optionally substituted with -R^a-Y-R^b-(Z)_x;

5 R⁵ is selected from the group consisting of hydrogen, halo, -CH(R^c)-NR^cR^c, -CH(R^c)-NR^cR^c and -CH(R^c)-NR^c-R^a-Y-R^b-(Z)_x;

R⁶ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, -R^a-Y-R^b-(Z)_x, -C(O)R^d and a saccharide group optionally substituted with -NR^c-R^a-Y-R^b-(Z)_x, or R⁵ and R⁶ can be joined, together with the atoms to which they are attached, form a
10 heterocyclic ring optionally substituted with -NR^c-R^a-Y-R^b-(Z)_x;

R⁷ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, -R^a-Y-R^b-(Z)_x, and -C(O)R^d;

R⁸ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted
15 cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R⁹ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

20 R¹⁰ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic; or R⁸ and R¹⁰ are joined to form -Ar¹-O-Ar²-, where Ar¹ and Ar² are independently arylene or heteroarylene;

25 R¹¹ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic, or R¹⁰ and R¹¹ are joined, together with the carbon and nitrogen atoms to which they are attached, to form a heterocyclic ring;

R^{12} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic, $-C(O)R^d$, $-C(NH)R^d$, $-C(O)NR^cR^c$, $-C(O)OR^d$, $-C(NH)NR^cR^c$ and $-R^a-Y-R^b-(Z)_x$, or R^{11} and R^{12} are joined, together with the nitrogen atom to which they are attached, to form a heterocyclic ring;

R^{13} is selected from the group consisting of hydrogen or $-OR^{14}$;

R^{14} is selected from hydrogen, $-C(O)R^d$ and a saccharide group;

R^{15} is hydrogen or $-R^a-Y-R^b-(Z)_x$;

R^{16} is hydrogen or methyl;

R^{17} is hydrogen, alkyl or substituted alkyl;

each R^a is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

each R^b is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided R^b is not a covalent bond when Z is hydrogen;

each R^c is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and $-C(O)R^d$;

each R^d is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^e is a saccharide group;

W is selected from the group consisting of $-OR^c$, $-SR^c$, $-S-S-R^d$, $-NR^cR^c$, $-S(O)R^d$, $-SO_2R^d$, $-NR^cC(O)R^d$, $-OSO_2R^d$, $-OC(O)R^d$, $-NR^cSO_2R^d$, $-C(O)NR^cR^c$, $-C(O)OR^c$, $-C(NR^c)OR^c$, $-SO_2NR^cR^c$, $-SO_2OR^c$, $-P(O)(OR^c)_2$, $-P(O)(OR^c)NR^cR^c$, $-OP(O)(OR^c)_2$, $-OP(O)(OR^c)NR^cR^c$, $-OC(O)OR^d$, $-NR^cC(O)OR^d$,

$-\text{NR}^c\text{C}(\text{O})\text{NR}^c\text{R}^c$, $-\text{OC}(\text{O})\text{NR}^c\text{R}^c$, $-\text{NR}^c\text{SO}_2\text{NR}^c\text{R}^c$; $-\text{N}^+(\text{R}^c)=\text{CR}^c\text{R}^c$, $-\text{N}=\text{P}(\text{R}^d)_3$,
 $-\text{N}^+(\text{R}^d)_3$, $-\text{P}^+(\text{R}^d)_3$, $-\text{C}(\text{S})\text{OR}^d$, and $-\text{C}(\text{S})\text{SR}^d$;

X^1 , X^2 and X^3 are independently selected from hydrogen or chloro;

each Y is independently selected from the group consisting of oxygen, sulfur,

5 $-\text{S}-\text{S}-$, $-\text{NR}^c-$, $-\text{S}(\text{O})-$, $-\text{SO}_2-$, $-\text{NR}^c\text{C}(\text{O})-$, $-\text{OSO}_2-$, $-\text{OC}(\text{O})-$, $-\text{NR}^c\text{SO}_2-$,
 $-\text{C}(\text{O})\text{NR}^c-$, $-\text{C}(\text{O})\text{O}-$, $-\text{SO}_2\text{NR}^c-$, $-\text{SO}_2\text{O}-$, $-\text{P}(\text{O})(\text{OR}^c)\text{O}-$, $-\text{P}(\text{O})(\text{OR}^c)\text{NR}^c-$,
 $-\text{OP}(\text{O})(\text{OR}^c)\text{O}-$, $-\text{OP}(\text{O})(\text{OR}^c)\text{NR}^c-$, $-\text{OC}(\text{O})\text{O}-$, $-\text{NR}^c\text{C}(\text{O})\text{O}-$, $-\text{NR}^c\text{C}(\text{O})\text{NR}^c-$,
 $-\text{OC}(\text{O})\text{NR}^c-$ and $-\text{NR}^c\text{SO}_2\text{NR}^c-$;

10 each Z is independently selected from hydrogen, aryl, cycloalkyl,
cycloalkenyl, heteroaryl and heterocyclic;

n is 0, 1 or 2;

x is 1 or 2;

and pharmaceutically acceptable salts, stereoisomers and prodrugs thereof;

15 provided that at least one of R^{15} , R^2 , R^3 , R^4 , R^5 , R^6 , R^7 or R^{12} has a
substituent of the formula $-\text{R}^a-\text{Y}-\text{R}^b-(\text{Z})_x$;

and further provided that:

(i) when Y is $-\text{NR}^c-$, R^c is alkyl of 1 to 4 carbon atoms, Z is hydrogen
and R^b is alkylene, then R^b contains at least 5 carbon atoms;

20 (ii) when Y is $-\text{C}(\text{O})\text{NR}^c-$, Z is hydrogen and R^b is alkylene, then R^b
contains at least 5 carbon atoms;

(iii) when Y is sulfur, Z is hydrogen and R^b is alkylene, then R^b contains
at least 7 carbon atoms; and

(iv) when Y is oxygen, Z is hydrogen and R^b is alkylene, then R^b contains
at least 11 carbon atoms.

25

2. The compound of Claim 1, wherein R^2 is hydrogen and R^{13} is $-\text{OH}$.

3. The compound of Claim 2, wherein R^4 , R^6 and R^7 are each hydrogen.

30

4. The compound of Claim 3, wherein R^8 is $-\text{CH}_2\text{C}(\text{O})\text{NH}_2$.

5. The compound of Claim 4, wherein R^9 is hydrogen; R^{10} is isobutyl; R^{11} is methyl; and R^{12} is hydrogen.

6. The compound of Claim 5, wherein R^5 is hydrogen, $-\text{CH}_2-\text{NHR}^c$,
5 $-\text{CH}_2-\text{NR}^c\text{R}^e$ and $-\text{CH}_2-\text{NH}-\text{R}^a-\text{Y}-\text{R}^b-(\text{Z})_x$.

7. The compound of Claim 6, wherein R^3 is $-\text{OR}^c$ or $-\text{NR}^c\text{R}^e$.

8. The compound of Claim 7, wherein R^3 is $-\text{OH}$ and R^5 is hydrogen.
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9. The compound of Claim 8, wherein R^{15} is $-\text{R}^a-\text{Y}-\text{R}^b-(\text{Z})_x$.
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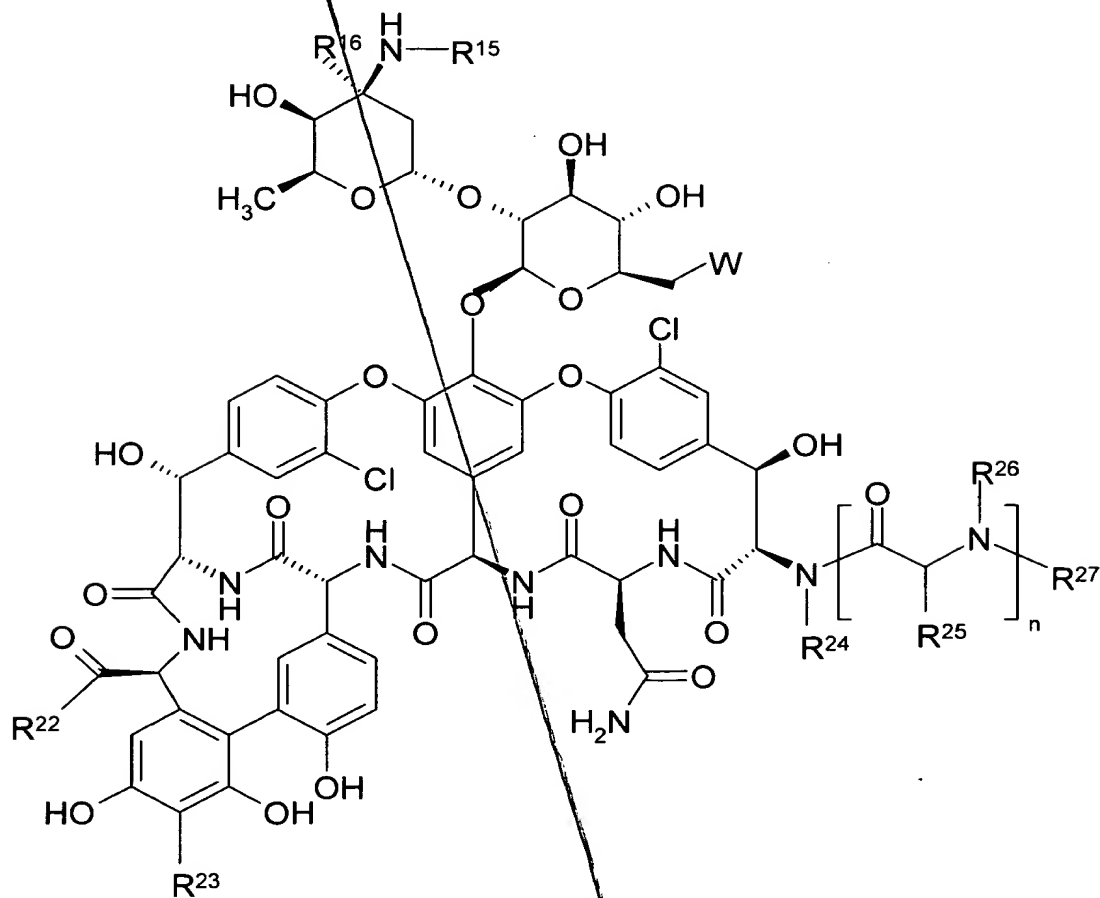
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10. A compound of formula II:



II

wherein

R^{15} is hydrogen or $-R^a-Y-R^b-(Z)_x$;

R^{16} is hydrogen or methyl;

R^{22} is $-OR^c$, $-NR^cR^c$, $-O-R^a-Y-R^b-(Z)_x$ or $-NR^c-R^a-Y-R^b-(Z)_x$;

R^{23} is selected from the group consisting of hydrogen, halo, $-CH(R^c)-NR^cR^c$, $-CH(R^c)-R^c$ and $-CH(R^c)-NR^c-R^a-Y-R^b-(Z)_x$;

R^{24} is selected from the group consisting of hydrogen and lower alkyl;

R^{25} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl,

substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

5 R^{26} is selected from the group consisting of hydrogen and lower alkyl; or R^{25} and R^{26} are joined, together with the carbon and nitrogen atoms to which they are attached, to form a heterocyclic ring;

10 R^{27} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic, $-C(O)R^d$, $-C(NH)R^d$, $-C(O)NR^cR^c$, $-C(O)OR^d$, $-C(NH)NR^cR^c$ and $-R^a-Y-R^b-(Z)_x$, or R^{26} and R^{27} are joined, together with the nitrogen atom to which they are attached, to form a heterocyclic ring;

15 each R^a is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

20 each R^b is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided R^b is not a covalent bond when Z is hydrogen;

25 each R^c is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and $-C(O)R^d$;

30 each R^d is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^e is an aminosaccharide group;

W is selected from the group consisting of $-OR^c$, $-SR^c$, $-S-S-R^d$, $-NR^cR^c$, $-S(O)R^d$, $-SO_2R^d$, $-NR^cC(O)R^d$, $-OSO_2R^d$, $-OC(O)R^d$, $-NR^cSO_2R^d$, $-C(O)NR^cR^c$, $-C(O)OR^c$, $-C(NR^c)OR^c$, $-SO_2NR^cR^c$, $-SO_2OR^c$, $-P(O)(OR^c)_2$, $-P(O)(OR^c)NR^cR^c$, $-OP(O)(OR^c)_2$, $-OP(O)(OR^c)NR^cR^c$, $-OC(O)OR^d$, $-NR^cC(O)OR^d$,

$-\text{NR}^c\text{C}(\text{O})\text{NR}^c\text{R}^c$, $-\text{OC}(\text{O})\text{NR}^c\text{R}^c$, $-\text{NR}^c\text{SO}_2\text{NR}^c\text{R}^c$; $-\text{N}^+(\text{R}^c)=\text{CR}^c\text{R}^c$, $-\text{N}=\text{P}(\text{R}^d)_3$,
5 $-\text{N}^+(\text{R}^d)_3$, $-\text{P}^+(\text{R}^d)_3$, $-\text{C}(\text{S})\text{OR}^d$, and $-\text{C}(\text{S})\text{SR}^d$;

each Y is independently selected from the group consisting of oxygen, sulfur,
 $-\text{S}-\text{S}-$, $-\text{NR}^c-$, $-\text{S}(\text{O})-$, $-\text{SO}_2-$, $-\text{NR}^c\text{C}(\text{O})-$, $-\text{OSO}_2-$, $-\text{OC}(\text{O})-$, $-\text{NR}^c\text{SO}_2-$,
5 $-\text{C}(\text{O})\text{NR}^c-$, $-\text{C}(\text{O})\text{O}-$, $-\text{SO}_2\text{NR}^c-$, $-\text{SO}_2\text{O}-$, $-\text{P}(\text{O})(\text{OR}^c)\text{O}-$, $-\text{P}(\text{O})(\text{OR}^c)\text{NR}^c-$,
 $-\text{OP}(\text{O})(\text{OR}^c)\text{O}-$, $-\text{OP}(\text{O})(\text{OR}^c)\text{NR}^c-$, $-\text{OC}(\text{O})\text{O}-$, $-\text{NR}^c\text{C}(\text{O})\text{O}-$, $-\text{NR}^c\text{C}(\text{O})\text{NR}^c-$,
 $-\text{OC}(\text{O})\text{NR}^c-$ and $-\text{NR}^c\text{SO}_2\text{NR}^c-$;

each Z is independently selected from hydrogen, aryl, cycloalkyl,
cycloalkenyl, heteroaryl and heterocyclic;

10 n is 0, 1 or 2;

x is 1 or 2;

and pharmaceutically acceptable salts, stereoisomers and prodrugs thereof;

provided that at least one of R^{15} , R^{22} , R^{23} or R^{27} has a substituent of the
formula $-\text{R}^a-\text{Y}-\text{R}^b-(\text{Z})_x$;

15 and further provided that:

(i) when Y is $-\text{NR}^c-$, R^c is alkyl of 1 to 4 carbon atoms, Z is hydrogen
and R^b is alkylene, then R^b contains at least 5 carbon atoms;

(ii) when Y is $-\text{C}(\text{O})\text{NR}^c-$, Z is hydrogen and R^b is alkylene, then R^b
contains at least 5 carbon atoms;

20 (iii) when Y is sulfur, Z is hydrogen and R^b is alkylene, then R^b contains
at least 7 carbon atoms; and

(iv) when Y is oxygen, Z is hydrogen and R^b is alkylene, then R^b contains
at least 11 carbon atoms.

25 11. The compound of Claim 10, wherein R^{24} is hydrogen; R^{25} is isobutyl;
 R^{26} is methyl; and R^{27} is hydrogen.

12. The compound of Claim 11, wherein R^{22} is $-\text{OH}$.

30 13. The compound of Claim 12, wherein R^{23} is hydrogen.

14. The compound of Claim 13, wherein R^{15} is $-R^a-Y-R^b-(Z)_x$.

15. The compound of Claim 9 or 14, wherein W is $-NH_2$.

5 16. The compound of Claim 15, wherein the $-R^a-Y-R^b-(Z)_x$ group is selected from the group consisting of:

- 10
- CH₂CH₂-NH-(CH₂)₉CH₃;
 - CH₂CH₂CH₂-NH-(CH₂)₈CH₃;
 - CH₂CH₂CH₂CH₂-NH-(CH₂)₇CH₃;
 - CH₂CH₂-NHSO₂-(CH₂)₉CH₃;
 - CH₂CH₂-NHSO₂-(CH₂)₁₁CH₃;
 - CH₂CH₂-S-(CH₂)₈CH₃;
 - CH₂CH₂-S-(CH₂)₉CH₃;
 - CH₂CH₂-S-(CH₂)₁₀CH₃;
 - 15 -CH₂CH₂CH₂-S-(CH₂)₈CH₃;
 - CH₂CH₂CH₂-S-(CH₂)₉CH₃;
 - CH₂CH₂CH₂-S-(CH₂)₃-CH=CH-(CH₂)₄CH₃ (*trans*);
 - CH₂CH₂CH₂CH₂-S-(CH₂)₇CH₃;
 - CH₂CH₂-S(O)-(CH₂)₉CH₃;
 - 20 -CH₂CH₂-S-(CH₂)₆Ph;
 - CH₂CH₂-S-(CH₂)₈Ph;
 - CH₂CH₂CH₂-S-(CH₂)₈Ph;
 - CH₂CH₂-NH-CH₂-4-(4-Cl-Ph)-Ph;
 - CH₂CH₂-NH-CH₂-4-[4-CH₃)₂CHCH₂]-Ph;
 - 25 -CH₂CH₂-NH-CH₂-4-(4-CF₃-Ph)-Ph;
 - CH₂CH₂-S-CH₂-4-(4-Cl-Ph)-Ph;
 - CH₂CH₂-S(O)-CH₂-4-(4-Cl-Ph)-Ph;
 - CH₂CH₂CH₂-S-CH₂-4-(4-Cl-Ph)-Ph;
 - CH₂CH₂CH₂-S(O)-CH₂-4-(4-Cl-Ph)-Ph;
 - 30 -CH₂CH₂CH₂-S-CH₂-4-[3,4-di-Cl-PhCH₂O)-Ph;

- CH₂CH₂-NHSO₂-CH₂-4-[4-(4-Ph)-Ph]-Ph;
- CH₂CH₂CH₂-NHSO₂-CH₂-4-(4-Cl-Ph)-Ph;
- CH₂CH₂CH₂-NHSO₂-CH₂-4-(Ph-C≡C-)-Ph;
- CH₂CH₂CH₂-NHSO₂-4-(4-Cl-Ph)-Ph; and
- CH₂CH₂CH₂-NHSO₂-4-(naphth-2-yl)-Ph.

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17. A pharmaceutical composition comprising a pharmaceutically-acceptable carrier and a therapeutically effective amount of a compound of Claim 1 or 10.

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18. The pharmaceutical composition of Claim 17, wherein the composition further comprises a cyclodextrin.

19. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a pharmaceutical composition comprising a pharmaceutically-acceptable carrier and a therapeutically effective amount of a compound of Claim 1 or 10.

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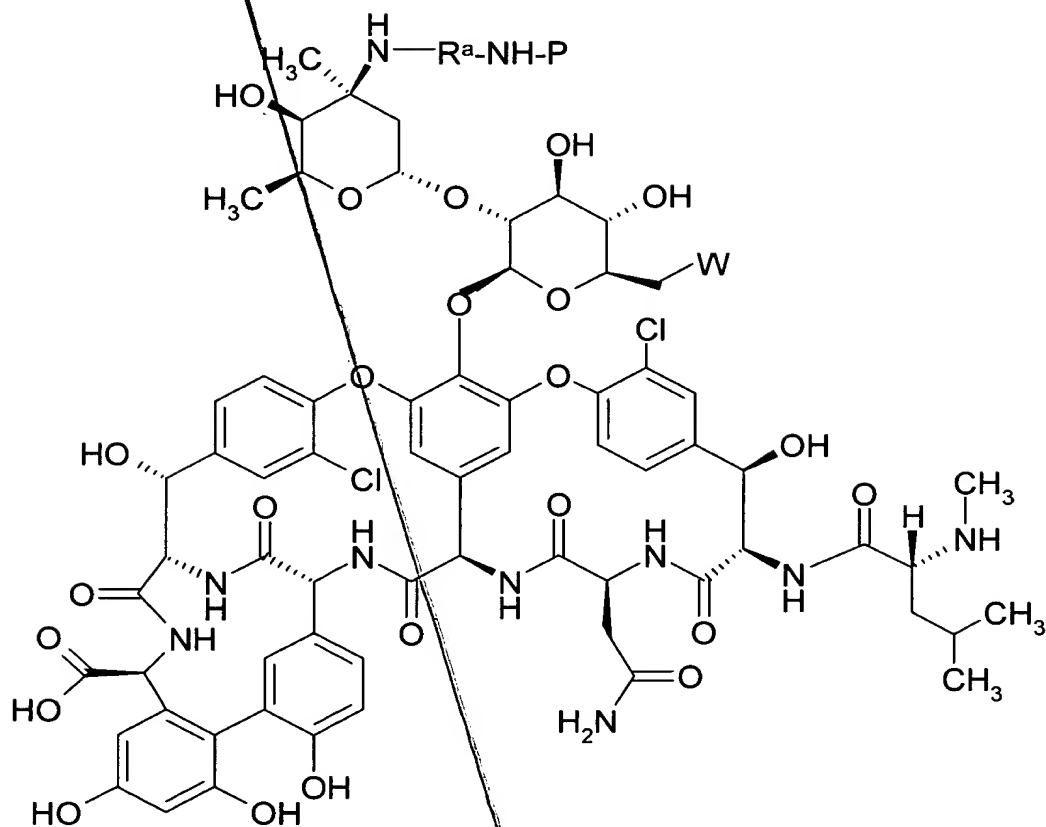
20. A compound as shown in any of Tables I, II, III or IV, or a pharmaceutically-acceptable salts thereof.

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21. A compound of the formula:



wherein

R^a is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

W is selected from the group consisting of $-OR^c$, $-SR^c$, $-S-S-R^d$, $-NR^cR^c$, $-S(O)R^d$, $-SO_2R^d$, $-NR^cC(O)R^d$, $-OSO_2R^d$, $-OC(O)R^d$, $-NR^cSO_2R^d$, $-C(O)NR^cR^c$, $-C(O)OR^c$, $-C(NR^c)OR^c$, $-SO_2NR^cR^c$, $-SO_2OR^c$, $-P(O)(OR^c)_2$, $-P(O)(OR^c)NR^cR^c$, $-OP(O)(OR^c)_2$, $-OP(O)(OR^c)NR^cR^c$, $-OC(O)OR^d$, $-NR^cC(O)OR^d$, $-NR^cC(O)NR^cR^c$, $-OC(O)NR^cR^c$, $-NR^cSO_2NR^cR^c$, $-N^+(R^c)=CR^cR^c$, $-N=P(R^d)_3$, $-N^+(R^d)_3$, $-P^+(R^d)_3$, $-C(S)OR^d$, and $-C(S)SR^d$;

P is hydrogen or a protecting group;

and salts thereof.